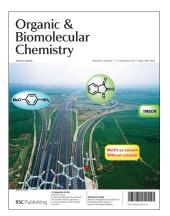
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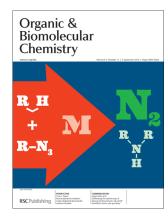
ISSN 1477-0520 CODEN OBCRAK 8(17) 3809-4028 (2010)



Cover

See Jian Zhou et al., pp. 3847-3850. The direct α -cyanoamination of isatins using TMSCN was accomplished in methanol without any catalyst.

Image reproduced by permission of Yun-Lin Liu, Feng Zhou, Jun-Jie Cao, Cong-Bin Ji, Miao Ding and Jian Zhou from Org. Biomol. Chem, 2010, 8, 3847.



Inside cover

See Tom G. Driver, pp. 3831-3846. Azides are useful progenitors of metal nitrene species that transform simple starting materials into complex, functionalized products. Cover art designed and prepared by Benjamin Kiel of House Industries.

Image reproduced by permission of Tom G. Driver from Org. Biomol. Chem, 2010, 8, 3831.

EMERGING AREA

3824

Chiral phosphine oxides in present-day organocatalysis

Maurizio Benaglia* and Sergio Rossi

This contribution highlights the relatively few examples of stereoselective transformations organocatalyzed by chiral phosphine oxides, discussing the different mechanisms and identifying topics for future investigation in what can be defined as an "Emerging Area".

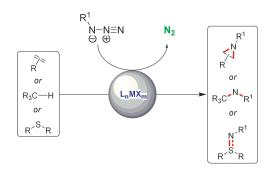
PERSPECTIVE

3831

Recent advances in transition metal-catalyzed N-atom transfer reactions of azides

Tom G. Driver

Transition metal-catalyzed N-atom transfer reactions from azides represents a potential efficient and environmentally benign method for the construction of carbon-nitrogen and sulfur-nitrogen bonds. This perspective examines the progress toward achieving green N-atom transfer processes from azides.



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COMMUNICATIONS

3847

A facile method for the synthesis of oxindole based quaternary α-aminonitriles via the Strecker reaction

Yun-Lin Liu, Feng Zhou, Jun-Jie Cao, Cong-Bin Ji, Miao Ding and Jian Zhou*

The direct α-cyanoamination of isatins using TMSCN has been developed, which is carried out in methanol without any catalyst. A new bifunctional cinchona alkaloid-based phosphinamide catalyst 7 could promote the Strecker reaction of isatins derived ketimine with TMSCN in up to 74% ee.

3851



Delineating the earliest steps of gilvocarcin biosynthesis: role of GilP and GilQ in starter unit specificity

Micah D. Shepherd, Madan K. Kharel, Lili L. Zhu, Steven G. van Lanen and Jürgen Rohr*

Unusual MCAT-type activities, not a distinct KASIII analogue, steer gilvocarcin biosynthesis toward preferentially priming with propionate over acetate starter units.

3857



Synthesis and bacterial biofilm inhibition studies of ethyl N-(2-phenethyl) carbamate derivatives

Steven A. Rogers, Daniel C. Whitehead, Trey Mullikin and Christian Melander*

An 88 member library based upon the marine bacterial metabolite ethyl N-(2-phenethyl) carbamate was evaluated for bacterial biofilm inhibition against a panel of medically relevant strains.

3860



Synthesis of 4-functionalized-1*H*-indoles from 2,3-dihalophenols

Roberto Sanz,* Verónica Guilarte and Nuria García

A new synthesis of 4-halo-1*H*-indoles has been developed from easily available 2,3-dihalophenol derivatives. The key steps are Smiles rearrangement and a one-pot or stepwise Sonogashira coupling/NaOH-mediated cyclization. Subsequent functionalization allows access to a wide variety of 2,4- or 2,3,4-regioselectively functionalized indoles.

COMMUNICATIONS

3865

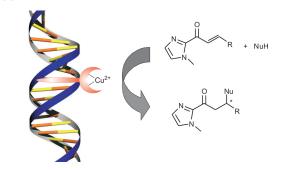
The acid-promoted reactions of phenyliodonium ylides with substituted anilines and their applications to the synthesis of indoles

Xianpei Wang, Bing Han, Junyan Wang and Wei Yu*

The reactions of phenyliodonium ylides with substituted anilines constitute a new protocol for the synthesis of indoles.

PAPERS

3868



On the Role of DNA in DNA-based Catalytic Enantioselective Conjugate Addition Reactions

Ewold W. Dijk, Arnold J. Boersma, Ben L. Feringa* and Gerard Roelfes*

DNA significantly affects the reaction rates of DNA-based catalytic enantioselective Friedel–Crafts alkylation and Michael addition reactions.

3874



Expeditious synthesis and biological evaluation of new C-6 1,2,3-triazole adenosine derivatives A1 receptor antagonists or agonists

S. C. Mathew, Y. By, A. Berthault, M.-A. Virolleaud, L. Carrega, G. Chouraqui, L. Commeiras, J. Condo, M. Attolini, A. Gaudel-Siri, J. Ruf, J. Rodriguez,* J.-L. Parrain* and R. Guieu*

An expeditious synthesis and biological evaluation of new C-6 1,2,3-triazole adenosine derivatives A1 receptor antagonists or agonists are described.

3882

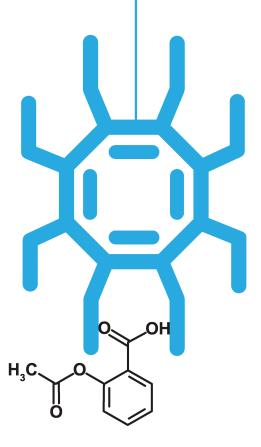


New class of highly stable nonaromatic tautomers

Claire Seillan, Philippe Marsal and Olivier Siri*

A new and efficient one-pot synthesis of unprecedented dimers which sacrifice by prototropic rearrangement their aromatic character (OH form) in favor of a new class of highly stable nonaromatic NH tautomers is described (either in solution or in solid-state).

New adventures on the web



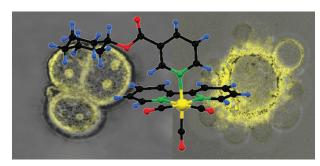
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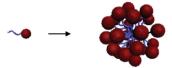
Uptake and localisation of rhenium fac-tricarbonyl polypyridyls in fluorescent cell imaging experiments

V. Fernández-Moreira, F. L. Thorp-Greenwood, A. J. Amoroso, J. Cable, J. B. Court, V. Gray, A. J. Hayes, R. L. Jenkins, B. M. Kariuki, D. Lloyd, C. O. Millet, C. Ff. Williams and M. P. Coogan*

A series of rhenium fac-tricarbonyl polypyridyl complexes of varying charge, lipophilicity and chemical reactivity are described along with their application in fluorescence cell imaging.

3902







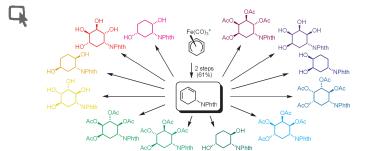


Enhanced drug loading in polymerized micellar cargo

Julien Ogier, Thomas Arnauld,* Géraldine Carrot, Antoine Lhumeau, Jean-Marie Delbos, Claire Boursier, Olivier Loreau, François Lefoulon and Eric Doris*

Self-assembly and polymerization of polydiacetylenic amphiphiles afforded a micellar cargo which permitted high loading and aqueous solubilization of lipophilic drugs.

3908

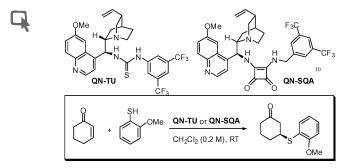


De novo synthesis of polyhydroxyl aminocyclohexanes

Anobick Sar, Sergey Lindeman and William A. Donaldson*

The syntheses of 12 stereochemically diverse polyhydroxyl aminocyclohexanes derivatives are described. These short syntheses require 2-5 steps from N-(2,4-cyclohexadien-1-yl)phthalimide, which is prepared in two steps from tricarbonyl(cyclohexadienyl)iron(1+).

3918



DOSY NMR for monitoring self aggregation of bifunctional organocatalysts: increasing enantioselectivity with decreasing catalyst concentration

Hyeong Bin Jang, Ho Sik Rho, Joong Suk Oh, Eun Hye Nam, Sang Eun Park, Han Yong Bae and Choong Eui Song*

In this report, we demonstrate that self-aggregation is an intrinsic problem of bifunctional organocatalysts.

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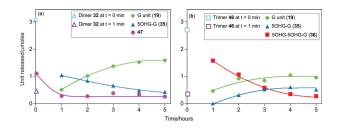
3923

Self-assembly of indolocarbazole-containing macrocyclic molecules

Yingjie Zhao, Yuliang Li,* Yongjun Li,* Changshui Huang, Huibiao Liu, Siu-Wai Lai, Chi-Ming Che and Daoben Zhu

A successful approach for the synthesis of indolocarbazole-containing based upon π – π stacking preorganization of indolocarbazole planes and click-chemistry reactions has been developed.

3928

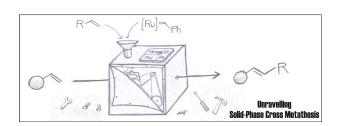


Insights into lignin primary structure and deconstruction from Arabidopsis thaliana COMT (caffeic acid O-methyl transferase) mutant Atomt1

Syed G. A. Moinuddin, Michaël Jourdes, Dhrubojyoti D. Laskar, Chanyoung Ki, Claudia L. Cardenas, Kye-Won Kim, Dianzhong Zhang, Laurence B. Davin and Norman G. Lewis*

Partial sequencing of native and mutant (Atomt1) lignins established a coherent conservation of 8-O-4' modified 8-O-4' inter-unit linkages during ligand primary structure macromolecular assembly.

3947

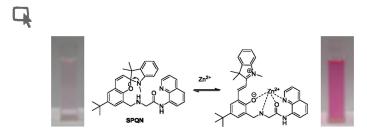


Unravelling the olefin cross metathesis on solid support. Factors affecting the reaction outcome

Andrés A. Poeylaut-Palena and Ernesto G. Mata*

Several factors which modulate the fate of cross metathesis in solid phase organic synthesis were examined including the effect of microwave irradiation.

3957



A colorimetric and fluorescent turn-on chemosensor operative in aqueous media for Zn²⁺ based on a multifunctionalized spirobenzopyran derivative

Jian-Fa Zhu, Han Yuan, Wing-Hong Chan* and Albert W. M. Lee

Multifunctional spiropyran derivative SPQN was synthesized as a Zn²⁺ chromogenic and fluorescent sensor. In 50% aqueous ethanol solution, upon binding with Zn2+, SPQN displays color change, chelation-enhanced fluorescence and ratiometric fluorescence output.

3965

De novo synthesis and lectin binding studies of unsaturated carba-pyranoses

Timo Leermann,* Oliver Block, Michael A. L. Podeschwa, Uwe Pfüller and Hans-Josef Altenbach

Galactose analogues were synthesized from branched para-benzoquinones and their potential to act as competitive inhibitors in lectin-carbohydrate interactions was investigated by means of Surface Plasmon Resonance (SPR) Spectroscopy.

3975



Synthetic and computational studies on the tricarboxylate core of 6,7-dideoxysqualestatin H5 involving a carbonyl ylide cycloaddition-rearrangement

David M. Hodgson,* Carolina Villalonga-Barber, Jonathan M. Goodman and Silvina C. Pellegrinet

Using diazodiketoesters, rhodium(II) acetate catalysed tandem carbonyl ylide formation and dipolar cycloaddition with methyl glyoxylate generates 6,8-dioxabicyclo[3.2.1]octanes. Subsequent acid-catalysed rearrangement favours, at equilibrium, the 2,8-dioxabicyclo[3.2.1]octane skeleton of the squalestatins-zaragozic acids.

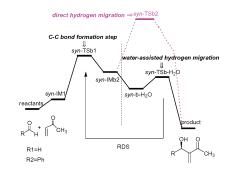
3985



Computational investigation on the mechanism and the stereoselectivity of Morita-Baylis-Hillman reaction and the effect of the bifunctional catalyst N-methylprolinol

Liang Dong, Song Qin, Zhishan Su, Huaqing Yang and Changwei Hu*

When water participates in the reaction, the energy barrier of the hydrogen migration step decreases dramatically, and the RDS turns to be the C-C bond formation step.



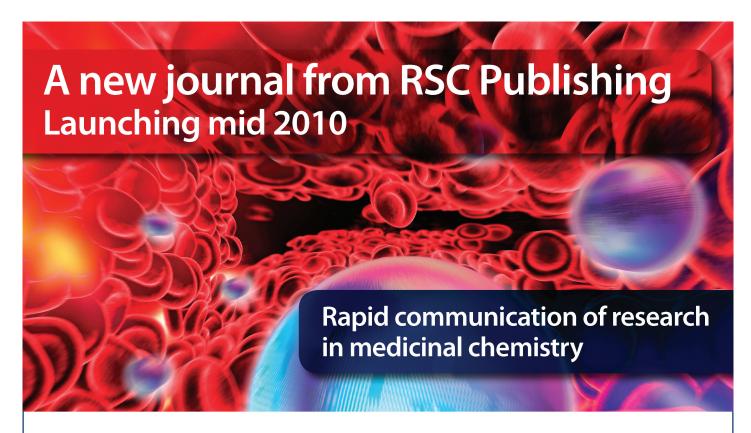
3992



Stereoselective synthesis of ring C-hexasubstituted trianglamines

Diego Savoia,* Andrea Gualandi and Helen Stoeckli-Evans

The addition of organolithium reagents to the trianglimine derived from (R,R)-1,2-diaminocyclohexane and terephthalaldehyde gave the corresponding trianglamines with complete stereocontrol and the R configuration of all six newly formed stereocenters



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3997

Syntheses, X-ray crystal structures and reactivity of fluorenylidene- and dibenzosuberenylidene-allenes: convenient precursors to dispirotetracenes, diindenotetracenes and 2-phenyl-11bH-dibenz[cd,h]azulene

E. V. Banide, C. O'Connor, N. Fortune, Y. Ortin, S. Milosevic, H. Müller-Bunz and M. J. McGlinchey*

3,3-(Biphenyl-2,2'-diyl)-1- α , α , α -trifluoro-p-tolyl-allene, **9**, sequentially forms a series of 1,2-dialkylidene-cyclobutane dimers and, ultimately, a dispirotetracene and a di-indenotetracene; the latter compound forms a Diels-Alder adduct with N-methylmaleimide.

4011



Highly efficient asymmetric organocatalytic Friedel-Crafts alkylation of indoles with α,β-unsaturated aldehydes

Shangbin Jin, Chenguang Li, Yuanhui Ma, Yuhe Kan, Yong Jian Zhang* and Wanbin Zhang*

The development of an improved organocatalyst, N-isopropylated bipyrrolidine, for highly efficient asymmetric Friedel-Crafts alkylation of indoles with α , β -unsaturated aldehydes is presented.

4016



Unexpected iron(III) chloride-catalysed dimerisation of 1,1,3-trisubstituted-prop-2-yn-1-ols as an expedient route to highly conjugated indenes

Weidong Rao and Philip Wai Hong Chan*

A method to prepare highly conjugated indenes efficiently by iron(III) chloride-catalysed dimerisation of trisubstituted propargylic alcohols under very mild conditions at room temperature is described. The reactions are rapid and operationally straightforward, giving the indene products in good yields and regioselectivity.

$$R^{1} = H, \text{ alkyl}, R^{2} = \text{alkyl}, R^{3} = Ar$$

$$R^{1} = R^{2} OH$$

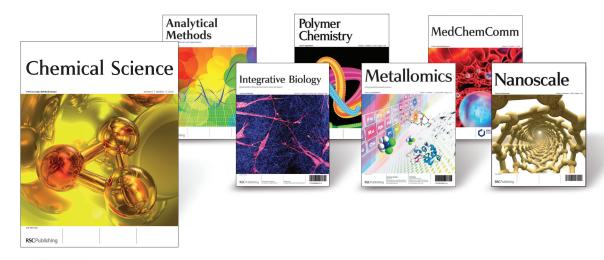
$$R^{3} OH$$

$$R^{3} OH$$

$$R^{3} CH_{2}Cl_{2}, r.t., A A MS, 0.5 h$$

$$R^{3} H$$

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